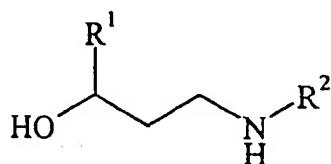


AMENDMENTS TO THE CLAIMS

This Listing Of Claims will replace all prior versions, and listings, of the claims in the application.

Listing of the Claims:

Claim 1 (Original): A process for the preparation of a compound of formula

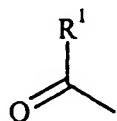


I

and/or an addition salt of a proton acid, wherein R<sup>1</sup> and R<sup>2</sup> independently represent alkyl, cycloalkyl, aryl or aralkyl, each aryl or aralkyl being optionally further substituted with alkyl, alkoxy and/or halogen, which process comprises the following steps

a) reacting a mixture comprising

(i) a methyl ketone of formula



IV

wherein R<sup>1</sup> is as defined above, and

(ii) a compound of formula



and/or an addition salt of proton acid, wherein R<sup>2</sup> is as defined above, and

(iii) formaldehyde or a source of formaldehyde selected from the group

consisting of formaldehyde in aqueous solution, 1,3, 5-trioxane,

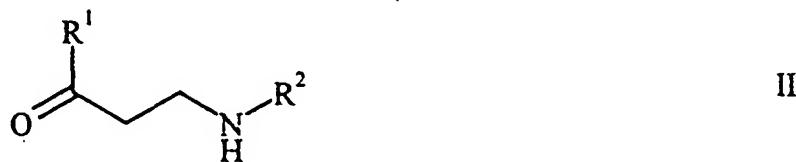
paraformaldehyde and mixtures thereof, in the presence of

a solvent selected from the group consisting of water, aliphatic alcohols,

cycloaliphatic alcohols and mixtures thereof, and

optionally a proton acid

to afford a  $\beta$ -amino ketone of formula



and/or an addition salt of a proton acid, and

b) reducing the carbonyl group of said  $\beta$ -amino ketone to afford a compound of formula I, and/or an addition salt of a proton acid

wherein the first step is carried out at a pressure above 1.5 bar.

Claim 2 (Original): The process of claim 1 wherein R<sup>1</sup> is selected from the group consisting of linear or branched C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, phenyl, naphthyl, furanyl, benzofuranyl, thienyl, benzo[b]thienyl and aralkyl, wherein the alkyl moiety of the aralkyl residue is linear C<sub>1-4</sub> alkyl, and the aryl moiety is selected from the

group consisting of phenyl, naphthyl, furanyl, benzofuranyl, thienyl and benzo[b]thienyl, each aryl or aralkyl being optionally substituted with halogen, linear or branched C<sub>1-4</sub> alkyl, linear or branched C<sub>1-4</sub> alkoxy, C<sub>3-6</sub> cycloalkyl, CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, OCF<sub>3</sub> or OC<sub>2</sub>F<sub>5</sub>.

Claim 3 (Currently Amended): The process of claim 1 [[or 2]] wherein R<sup>2</sup> is selected from the group consisting of linear or branched C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, phenyl, naphthyl, furanyl, benzofuranyl, thienyl, benzo[b]thienyl and aralkyl, wherein the alkyl moiety of the aralkyl residue is linear C<sub>1-4</sub> alkyl, and the aryl moiety is selected from the group consisting of phenyl, naphthyl, furanyl, benzofuranyl, thienyl and benzo[b]thienyl, each aryl or aralkyl being optionally substituted with halogen, linear or branched C<sub>1-4</sub> alkyl, linear or branched C<sub>1-4</sub> alkoxy, C<sub>3-6</sub> cycloalkyl, CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, OCF<sub>3</sub> or OC<sub>2</sub>F<sub>5</sub>.

Claim 4 (Currently Amended): The process of claim 1 any of claims 1 to 3, wherein the compound of formula V is present in an amount at least equimolar to that of the compound of formula IV.

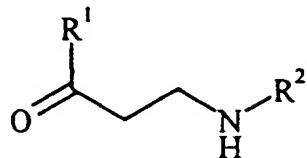
Claim 5 (Currently Amended): The process of claim 1 any of claims 1 to 4, wherein the proton acid is a carboxylic or an inorganic acid, the acid being preferably selected from the group consisting of formic acid, acetic acid, propionic acid, oxalic acid, malonic acid, benzoic acid, HF, HCl, HBr, HI, H<sub>2</sub>SO<sub>4</sub>, H<sub>3</sub>PO<sub>4</sub>, mono alkali malonate, alkali hydrogensulfates, alkali hydrogenphosphates and alkali hydrogencarbonates.

Claim 6 (Currently Amended): The process of claim 1 ~~any of claims 1 to 5~~, wherein aliphatic and cycloaliphatic alcohols are selected from the group selected of linear or branched aliphatic C<sub>1-12</sub> alcohols, cycloaliphatic C<sub>5-8</sub> alcohols, di- and/or triethylene glycols and mono C<sub>1-4</sub> alkyl or acetyl derivatives thereof, each of said alcohols containing 1 to 3 hydroxy groups.

Claim 7 (Original): The process of claim 6, wherein the alcohol is selected from the group consisting of methanol, ethanol, propanol, isopropyl alcohol, butanol, isobutanol, *tert*-butanol, 1-pentanol, 2-pentanol, 3-pentanol, 1-hexanol, 2-hexanol, cyclopentanol, cyclohexanol, 1,2-ethanediol, 1, 2-propanediol, 1, 2-butanediol, 2,3-butanediol, 1,4-butanediol, 1,2,3-propanetriol, 1,2, 6-hexanetriol, diethylene glycol, diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, diethylene glycol monobutyl ether, diethylene glycol monoacetate, triethylene glycol, triethylene glycol monomethyl ether, triethylene glycol monoethyl ether, triethylene glycol monobutyl ether and triethylene glycol monoacetate.

Claim 8 (Currently Amended): The process of claim 1 ~~any of claims 1 to 7~~, wherein the pressure during reaction step a) is above 1.5 bar, more preferably in the range of 1.5 to 10 bar and more particularly preferred in the range of 1.5 to 5 bar.

Claim 9 (Original): A compound of formula

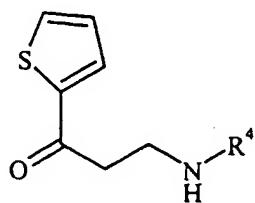


II

and its addition salts of proton acids, wherein R¹ represents

furanyl, benzofuranyl, isobenzofuranyl, thienyl or benzo[b]thienyl, each being optionally substituted with halogen, linear or branched C<sub>1-4</sub> alkyl, linear or branched C<sub>1-4</sub> alkoxy, C<sub>3-6</sub> cycloalkyl, CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, OCF<sub>3</sub> or OC<sub>2</sub>F<sub>5</sub>; and wherein R² is selected from the group consisting of linear or branched C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, phenyl, naphthyl, furanyl, benzofuranyl, thienyl, benzo[b]thienyl and aralkyl, wherein the alkyl moiety of the aralkyl residue is linear C<sub>1-4</sub> alkyl, and the aryl moiety is selected from the group consisting of phenyl, naphthyl, furanyl, benzofuranyl, thienyl and benzo[b]thienyl, each aryl or aralkyl being optionally substituted with halogen, linear or branched C<sub>1-4</sub> alkyl, linear or branched C<sub>1-4</sub> alkoxy, C<sub>3-6</sub> cycloalkyl, CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub> OCF<sub>3</sub> or OC<sub>2</sub>F<sub>5</sub> with the exception of the compound wherein R¹ represents thienyl and R² represents benzyl.

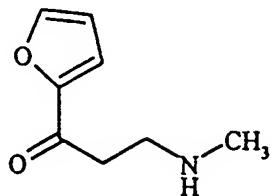
Claim 10 (Original): A compound of formula



VI

and its addition salts of proton acids, wherein  $R^4$  represents methyl, ethyl, isobutyl or *tert*-butyl.

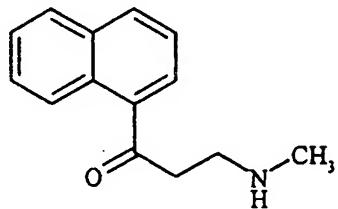
Claim 11 (Original): A compound of formula



VII

and its addition salts of proton acids.

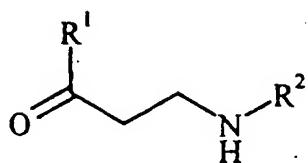
Claim 12 (Original): A compound of formula



VIII

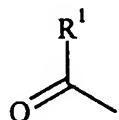
and its addition salts of proton acids.

Claim 13 (Original): A process for the preparation of a compound of formula



II

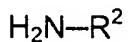
and/or an addition salt of a proton acid, wherein R<sup>1</sup> and R<sup>2</sup> independently represent alkyl, cycloalkyl, aryl or aralkyl, each being optionally further substituted with alkyl, alkoxy and/or halogen, which process comprises reacting (i) a methyl ketone of formula



IV

wherein R<sup>1</sup> is as defined above, and

(ii) a compound of formula



V

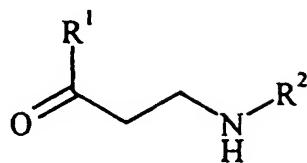
and/or an addition salt of a proton acid, wherein R<sup>2</sup> is as defined above, and

(iii) formaldehyde or a source of formaldehyde selected from the group consisting of formaldehyde in aqueous solution, 1,3,5-trioxane, paraformaldehyde and mixtures thereof, in the presence of

a solvent selected from the group consisting of water, aliphatic alcohols, cycloaliphatic alcohols and mixtures thereof, and

optionally a proton acid

to afford a  $\beta$ -amino ketone of formula



II

and/or an addition salt of a proton acid, wherein R<sup>1</sup> and R<sup>2</sup> are as defined above, and wherein the reaction is carried out at a pressure above 1.5 bar.

Claim 14 (Original): The process of claim 13 wherein R<sup>1</sup> is as defined in claim 2.

Claim 15 (Currently Amended): The process of claim 13 [[or 14]] wherein R<sup>2</sup> is as defined in claim 3.

Claim 16 (Currently Amended): The process of claim 13 any of claims 13 to 15, wherein the compound of formula V is present in an amount at least equimolar to that of the compound of formula IV.

Claim 17 (Currently Amended): The process of claim 13 any of claims 13 to 16, wherein the proton acid is a carboxylic or an inorganic acid, preferably the acid is selected from the group consisting of formic acid, acetic acid, propionic acid, oxalic acid, malonic acid, benzoic acid, HF, HCl, HBr, HI, H<sub>2</sub>SO<sub>4</sub>, H<sub>3</sub>PO<sub>4</sub>, mono alkali malonate, alkali hydrogensulfates, alkali hydrogenphosphates and alkali hydrogencarbonates.

Claim 18 (Currently Amended): The process of claim 16 any of claims 16 to 17, wherein aliphatic and cycloaliphatic alcohols are selected from the group

consisting of linear or branched aliphatic C<sub>1-12</sub> alcohols, cycloaliphatic C<sub>5-8</sub> alcohols, di-triethylene glycols and mono C<sub>1-4</sub> alkyl or acetyl derivatives thereof, each of said alcohols containing 1 to 3 hydroxy groups.

Claim 19 (Original): The process of claim 18, wherein the alcohol is selected from the group consisting of methanol, ethanol, propanol, isopropyl alcohol, butanol, isobutanol, *tert*-butanol, 1-pentanol, 2-pentanol, 3-pentanol, 1-hexanol, 2-hexanol, cyclopentanol, cyclohexanol, 1,2-ethanediol, 1,2-propanediol, 1,2-butanediol, 2,3-butanediol, 1,4-butanediol, 1,2,3-propanetriol, 1,2,6-hexanetriol, diethylene glycol; diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, diethylene glycol monobutyl ether, diethylene glycol monoacetate, triethylene glycol, triethylene glycol monomethyl ether, triethylene glycol monoethyl ether, triethylene glycol monobutyl ether and triethylene glycol monoacetate.

Claim 20 (Currently Amended): The process of claim 13 any of claims 13 to 19, wherein the pressure during the reaction is above 1.5 bar, more preferably in the range of 1.5 to 10 bar and more particularly preferred in the range of 1.5 to 5 bar.

Claim 21 (New): The process of claim 2 wherein R<sup>2</sup> is selected from the group consisting of linear or branched C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, phenyl, naphthyl, furanyl, benzofuranyl, thienyl, benzo[b]thienyl and aralkyl, wherein the alkyl moiety of the aralkyl residue is linear C<sub>1-4</sub> alkyl, and the aryl moiety is selected from the group consisting of phenyl, naphthyl, furanyl, benzofuranyl, thienyl and

benzo[b]thienyl, each aryl or aralkyl being optionally substituted with halogen, linear or branched C<sub>1-4</sub> alkyl, linear or branched C<sub>1-4</sub> alkoxy, C<sub>3-6</sub> cycloalkyl, CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, OCF<sub>3</sub> or OC<sub>2</sub>F<sub>5</sub>.

Claim 22 (New): The process of claim 3, wherein the compound of formula V is present in an amount at least equimolar to that of the compound of formula IV.

Claim 23 (New): The process of claim 4, wherein the proton acid is a carboxylic or an inorganic acid, the acid being preferably selected from the group consisting of formic acid, acetic acid, propionic acid, oxalic acid, malonic acid, benzoic acid, HF, HCl, HBr, HI, H<sub>2</sub>SO<sub>4</sub>, H<sub>3</sub>PO<sub>4</sub>, mono alkali malonate, alkali hydrogensulfates, alkali hydrogenphosphates and alkali hydrogencarbonates.

Claim 24 (New): The process of claim 5, wherein aliphatic and cycloaliphatic alcohols are selected from the group selected of linear or branched aliphatic C<sub>1-12</sub> alcohols, cycloaliphatic C<sub>5-8</sub> alcohols, di- and/or triethylene glycols and mono C<sub>1-4</sub> alkyl or acetyl derivatives thereof, each of said alcohols containing 1 to 3 hydroxy groups.

Claim 25 (New): The process of claim 7, wherein the pressure during reaction step a) is above 1.5 bar, more preferably in the range of 1.5 to 10 bar and more particularly preferred in the range of 1.5 to 5 bar.

Claim 26 (New): The process of claim 14 wherein R<sup>2</sup> is as defined in claim 3.

Claim 27 (New): The process of claim 15, wherein the compound of formula V is present in an amount at least equimolar to that of the compound of formula IV.

Claim 28 (New): The process of claim 16, wherein the proton acid is a carboxylic or an inorganic acid, preferably the acid is selected from the group consisting of formic acid, acetic acid, propionic acid, oxalic acid, malonic acid, benzoic acid, HF, HCl, HBr, HI, H<sub>2</sub>SO<sub>4</sub>, H<sub>3</sub>PO<sub>4</sub>, mono alkali malonate, alkali hydrogensulfates, alkali hydrogenphosphates and alkali hydrogencarbonates.

Claim 29 (New): The process of claim 17, wherein aliphatic and cycloaliphatic alcohols are selected from the group consisting of linear or branched aliphatic C<sub>1-12</sub> alcohols, cycloaliphatic C<sub>5-8</sub> alcohols, di-triethylene glycols and mono C<sub>1-4</sub> alkyl or acetyl derivatives thereof, each of said alcohols containing 1 to 3 hydroxy groups.

Claim 30 (New): The process of claim 19, wherein the pressure during the reaction is above 1.5 bar, more preferably in the range of 1.5 to 10 bar and more particularly preferred in the range of 1.5 to 5 bar.